(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 14 July 2005 (14.07.2005)

PCT

(10) International Publication Number WO 2005/063779 A3

(51) International Patent Classification7:

C07F 9/38

(21) International Application Number:

PCT/EP2004/014556

(22) International Filing Date:

22 December 2004 (22.12.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: MI2003A002582

> 23 December 2003 (23.12.2003) MI2004A000080 22 January 2004 (22.01.2004) IT

- (71) Applicant (for all designated States except US): LYOGEN LIMITED [CY/CY]; 24, Ayias Aikaterinis, Archangelos -Nicosia (CY).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GRASSI, Simona [IT/IT]; Via Balestrini, 18, I-21047 Saronno (IT). VOLANTE, Anna [IT/IT]; Via Clefi 4, I-20146 Milano (IT).
- (74) Agents: MINOJA, Fabrizio et al.; Bianchetti Bracco Minoja S.r.l., Via Plinio, 63, I-20129 Milano (IT).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE; SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 29 September 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: A PROCESS FOR THE PREPARATION OF ALKYL- AND ARYL-DIPHOSPHONIC ACIDS AND SALTS THEREOF

(57) Abstract: The invention relates to a process for the preparation of diphosphonic acids by reaction of a carboxylic acid with a mixture of phosphorous acid and phosphorus oxychloride in defined molar ratios and in the absence of solvents. The invention futher relates to ibandronic acid monosodium salt in the amorphous form.